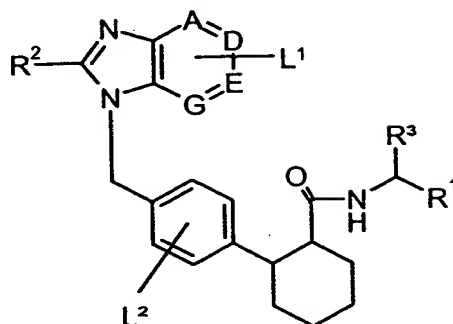


Patent Claims

Amen.
a¹

1. Compounds of the general formula (I)



5 in which

A, D, E and G are identical or different and represent CH groups or nitrogen atoms,

10 L¹ and L² are identical or different and independently of one another each represents one or more radicals selected from the group consisting of hydrogen, halogen, hydroxyl, carboxyl, cyano, nitro, trifluoromethyl, trifluoromethoxy, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy or (C₁-C₆)-alkoxycarbonyl,

15 R¹ represents the CH₂-OH group, or represents a radical of the formula CO-NR⁴R⁵,

20 in which

R⁴ and R⁵ are identical or different and each represents hydrogen or (C₁-C₆)-alkyl,

contol.
a¹

R^2 represents (C₃-C₈)-cycloalkyl,
represents (C₁-C₈)-alkyl which is optionally interrupted by an oxygen
or sulphur atom or by a radical NR⁶,
represents a 4- to 8-membered saturated heterocycle which is attached
to the imidazole ring via a nitrogen atom and which optionally
contains a further oxygen or sulphur atom, or
represents a 4- to 8-membered saturated heterocycle which contains a
radical of the formula NR⁷ and optionally additionally one nitrogen,
oxygen or sulphur atom,

where (C₃-C₈)-cycloalkyl, (C₁-C₈)-alkyl which is optionally
interrupted by an oxygen or sulphur atom, the 4- to 8-membered
saturated heterocycle which is attached to the imidazole ring via a
nitrogen atom and which optionally contains a further oxygen or
sulphur atom and optionally (C₁-C₈)-alkyl which is interrupted by a
radical of the formula NR⁶ and optionally the 4- to 8-membered
saturated heterocycle which contains a radical NR⁷ and optionally
additionally one nitrogen, oxygen or sulphur atom are substituted by
one to three hydroxyl groups and/or by a radical of the formula
-NR⁸R⁹

in which

R⁶ and R⁷ are identical or different and each represents hydrogen,
(C₁-C₆)-alkyl, hydroxy-(C₁-C₆)-alkyl or (C₃-C₇)-cycloalkyl,

R⁸ and R⁹ are identical or different and each represents hydrogen,
(C₁-C₆)-alkyl or (C₃-C₇)-cycloalkyl,

or

R⁸ and R⁹ together with the nitrogen atom form a 4- to 8-membered
saturated heterocycle which may optionally additionally
contain one oxygen or sulphur atom or a radical of the formula
NR¹⁰,

contd.
a¹

in which

R¹⁰ represents hydrogen, (C₁-C₆)-alkyl or (C₃-C₇)-cyclo-alkyl,

and

R³ represents a phenyl, naphthyl, pyrimidinyl, pyridyl, furyl or thienyl ring, where the rings are optionally mono- or polysubstituted by radicals selected from the group consisting of halogen, hydroxyl, carboxyl, cyano, nitro, trifluoromethyl, trifluoromethoxy, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy and (C₁-C₆)-alkoxycarbonyl,

and their enantiomers and diastereomers and their respective salts, hydrates and, if appropriate, their prodrugs.

2. Compounds according to Claim 1

where

A, D, E and G each represent the CH group,

or one of the radicals A, D, E and G represents a nitrogen atom and the others each represent the CH group,

L¹ and L² are identical or different and independently of one another each represents one or more radicals selected from the group consisting of hydrogen, fluorine, chlorine, cyano, trifluoromethyl and trifluoromethoxy,

R¹ represents the -CH₂-OH group, or
represents a radical of the formula -CO-NR⁴R⁵,

in which

contd.
a¹

R^4 and R^5 are identical or different and each represents hydrogen or (C₁-C₃)-alkyl,

5 R^2 represents (C₃-C₇)-cycloalkyl,
represents (C₁-C₆)-alkyl which is optionally interrupted by an oxygen or sulphur atom or by a radical NR⁶,
represents a 5- to 7-membered saturated heterocycle which is attached to the imidazole ring via a nitrogen atom and which optionally contains a further oxygen or sulphur atom, or
10 represents a 5- to 7-membered saturated heterocycle which contains a radical of the formula NR⁷ and optionally additionally one nitrogen, oxygen or sulphur atom,

15 where (C₃-C₇)-cycloalkyl, (C₁-C₆)-alkyl which is optionally interrupted by an oxygen or sulphur atom, the 5- to 7-membered saturated heterocycle which is attached to the imidazole ring via a nitrogen atom and which optionally contains one further oxygen or sulphur atom and optionally (C₁-C₆)-alkyl which is interrupted by a radical NR⁶ and optionally the 5- to 7-membered saturated heterocycle which contains a radical of the formula NR⁷ and optionally additionally one nitrogen, oxygen or sulphur atom are substituted by
20 one hydroxyl group and/or by a radical of the formula -NR⁸R⁹,

25 in which

R^6 and R^7 are identical or different and each represents hydrogen, (C₁-C₄)-alkyl, hydroxy-(C₁-C₄)-alkyl or (C₃-C₆)-cycloalkyl,

30 R^8 and R^9 are identical or different and each represents hydrogen, (C₁-C₄)-alkyl or (C₃-C₆)-cycloalkyl,

or

35 R^8 and R^9 together with the nitrogen atom form a 5- to 7-membered saturated heterocycle which may optionally additionally

contd.
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contain one oxygen or sulphur atom or a radical of the formula
 NR^{10} ,

in which

R^{10} represents hydrogen, $(\text{C}_1\text{-C}_4)$ -alkyl or $(\text{C}_3\text{-C}_6)$ -cyclo-
alkyl,

and

R^3 represents a phenyl, pyridyl or thienyl ring which is optionally mono-
or polysubstituted by radicals selected from the group consisting of
fluorine, chlorine, cyano, trifluoromethyl and trifluoromethoxy,

and their enantiomers and diastereomers and their respective salts, hydrates
and, if appropriate, their prodrugs.

3. Compounds according to Claim 1 or 2

where

A, D and E each represent the CH group,

G represents a nitrogen atom or represents the CH group,

L^1 and L^2 each represent hydrogen,

R^1 represents a radical of the formula $-\text{CO}-\text{NR}^4\text{R}^5$,

in which

R^4 and R^5 each represent hydrogen,

R^2 represents $(\text{C}_1\text{-C}_4)$ -alkyl which is optionally interrupted by an oxygen
atom, or

represents a 4- R^7 -piperazin-1-yl radical

contd.
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where (C₁-C₄)-alkyl, which is optionally interrupted by an oxygen atom, is substituted by a hydroxyl group or by a radical of the formula -NR⁸R⁹,

in which

R⁷ represents hydrogen, (C₁-C₄)-alkyl or (C₃-C₆)-cycloalkyl,

R⁸ and R⁹ are identical or different and each represents hydrogen, (C₁-C₄)-alkyl or (C₃-C₆)-cycloalkyl,

or

R⁸ and R⁹ together with the nitrogen atom form a morpholine radical,

and

R³ represents a phenyl or pyridyl radical which may optionally be mono- or polysubstituted by fluorine,

and their enantiomers and diastereomers and their respective salts, hydrates and, if appropriate, their prodrugs.

4. Compounds according to any of Claims 1 to 3

where

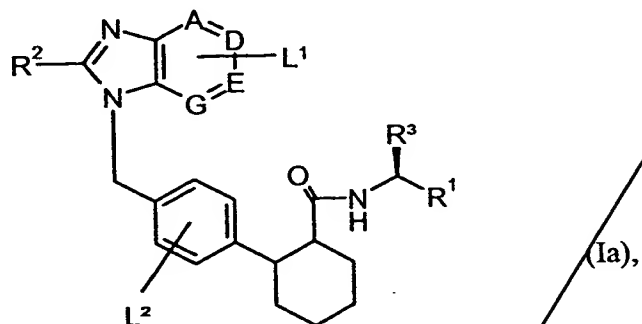
the radical R¹ represents a radical of the formula CO-NR⁴R⁵ where R⁴ and R⁵ are as defined above

and

the other radicals are as defined in Claims 1 to 3.

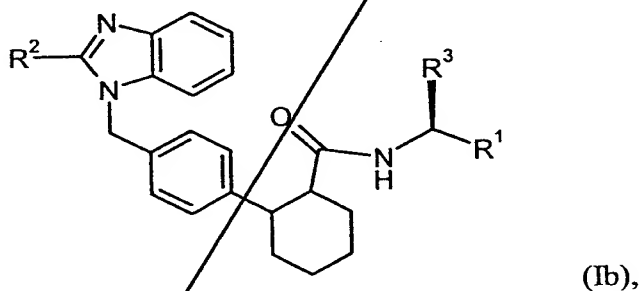
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5. Compounds according to any of Claims 1 to 4, characterized by the following stereochemistry according to formula (Ia):



where the substituents R^1 , R^2 , R^3 , L^1 and L^2 and the radicals A, D, E and G are each as defined in Claims 1 to 4.

6. Compounds according to any of Claims 1 to 5, characterized by the following stereochemistry according to formula (Ib)



in which

R^1 represents a group $-C(O)-NH_2$,

R^2 represents (C_1-C_4) -alkyl which is substituted at the terminal C atom by a hydroxyl group,

R^3 represents a phenyl ring which is optionally substituted in the para position by fluorine,

or

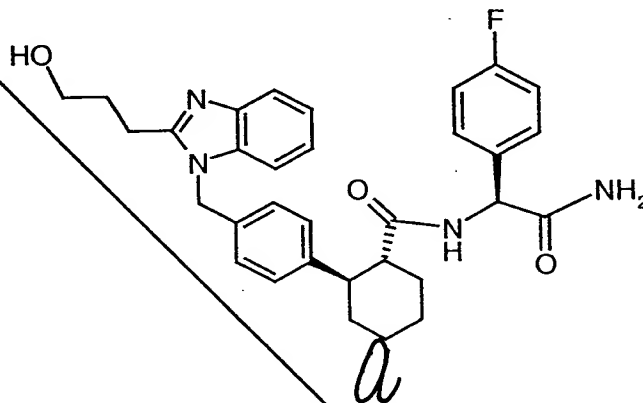
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~~represents a pyridyl radical;~~

and their diastereomers and their respective salts, hydrates and, if appropriate, their
~~prodrugs:~~

5

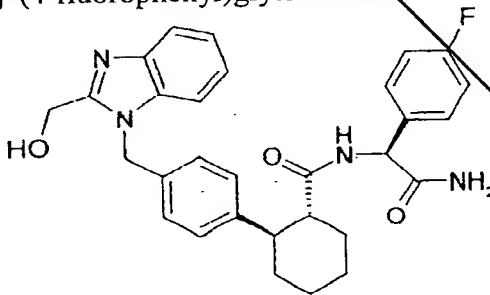
Compounds of the general formula (I) of the following structures:
(S)-N-{[(1R,2R)-2-{4-{[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl}-phenyl}-cyclohex-1-yl]carbonyl}-(4-fluorophenyl)glycinamide:



10

and their salts, hydrates and, if appropriate, their prodrugs.

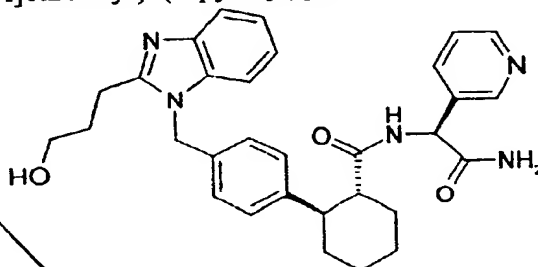
(S)-N-{[(1R,2R)-2-{4-{[2-hydroxymethyl]-1H-benzimidazol-1-yl]methyl}-phenyl}-cyclohex-1-yl]carbonyl}-(4-fluorophenyl)glycinamide:



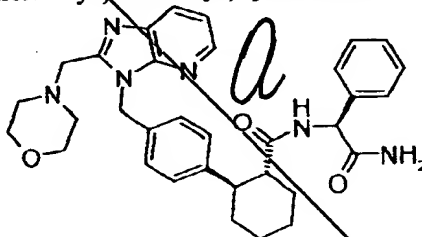
(S)-N-{[(1R,2R)-2-{4-{[2-(2-hydroxyethyl)-1H-benzimidazol-1-yl]methyl}-phenyl}-cyclohex-1-yl]carbonyl}-phenylglycinamide:

- 78 -

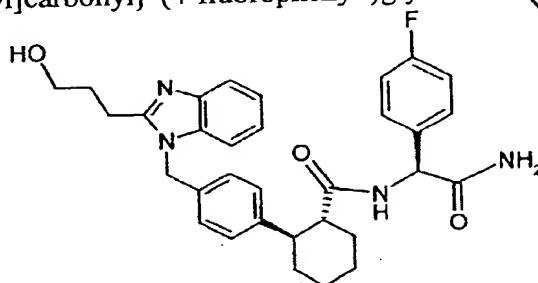
(S)-N-{[(1R,2R)-2-{4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]-phenyl}-cyclohex-1-yl]carbonyl}-(3-pyridyl)glycinamide:



(S)-N-{{{(1R,2R)-{4-[2-[2-(morpholin-4-yl-methyl)-1H-pyrido[2,3-d]imidazol-1-yl]methyl]-phenyl}-cyclohex-1-yl]carbonyl}-phenyl}glycinamide:



(S)-N-{[(1R,2R)-2-{4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]-phenyl}-cyclohex-1-yl]carbonyl}-(4-fluorophenyl)glycinamide:

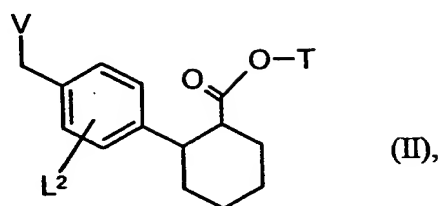


8. Process for preparing compounds of the general formula (I) according to Claims 1 to 7, characterized in that

{A} compounds of the general formula (II)

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- 79 -



in which

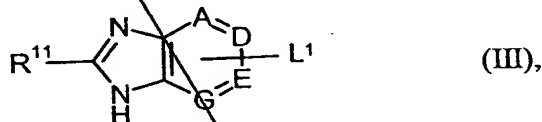
L² is as defined above,

T represents (C₁-C₄)-alkyl, preferably methyl or tert-butyl,

and

V represents a suitable leaving group, such as, for example, halogen, mesylate or tosylate, preferably bromine,

are initially converted by reaction with compounds of the general formula (III)



in which

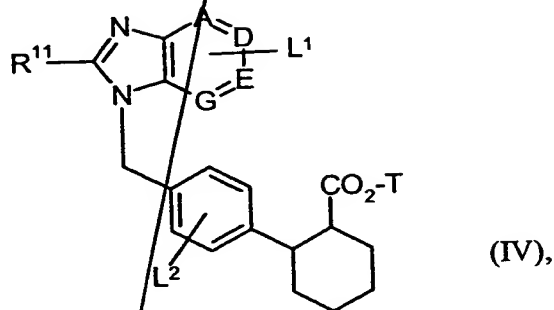
A, D, E, G and L¹ are each as defined above

and

R¹¹ has the meaning of R² given above, where amino and hydroxyl functions are optionally blocked by suitable amino- or hydroxyl-protective groups,

in inert solvents, depending on the definition of R¹¹ optionally in the presence of a base, into the compounds of the general formula (IV)

- 80 -

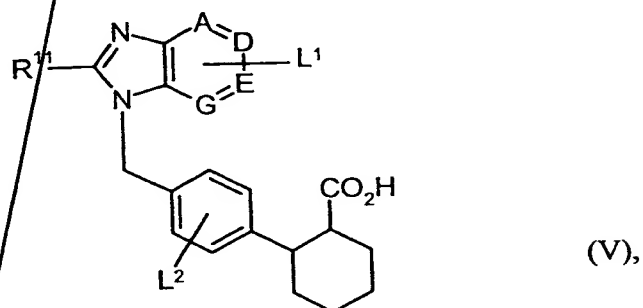
contd.
a²

in which

R^{11} , A, D, E, G, L^1 , L^2 and T are each as defined above,

5

which are converted in a subsequent step using acids or bases into the corresponding carboxylic acids of the general formula (V)



10

in which

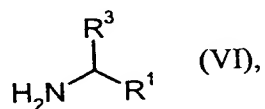
R^{11} , A, D, E, G, L^1 and L^2 are each as defined above,

15

which are, if appropriate, activated, in particular by conversion into a corresponding carboxylic acid derivative, such as carbonyl halide, carboxylic anhydride or carboxylic ester,

and which are subsequently reacted by known methods with compounds of the general formula (VI) or salts thereof

20



in which

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R^1 and R^3 are each as defined above

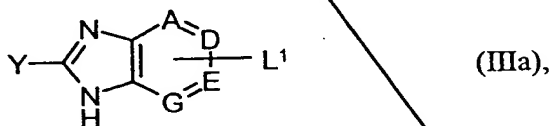
in inert solvents,

5 and, if R^{11} carries one of the abovementioned protective groups, this is optionally removed by customary methods either in the hydrolysis to the acids (IV) \rightarrow (V) or after the reaction with the compounds of the general formula (VI),

10 or

[B] if R^2 represents a saturated heterocycle which is attached directly to the imidazole ring via a nitrogen atom,

15 the abovementioned compounds of the general formula (II) are initially converted with compounds of the general formula (IIIa)



20 in which

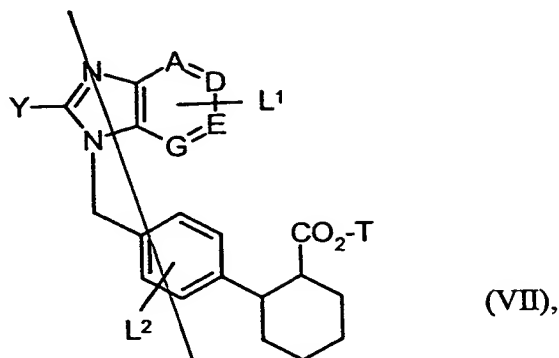
A, D, E, G and L^1 are each as defined above

and

25 Y represents halogen or mesylate, preferably chlorine, bromine or mesylate,

in inert solvents into the corresponding compounds of the formula (VII)

- 82 -



in which

Y, A, D, E, G, L¹, L² and T are each as defined above,

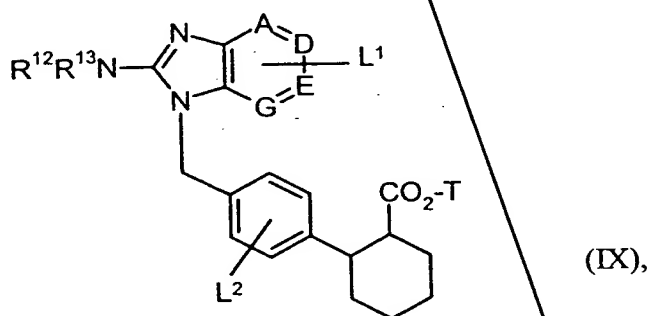
which are reacted in a subsequent step with compounds of the general formula (VIII)



in which

R¹² and R¹³ together with the nitrogen atom form a heterocycle according to the definition of R²

to give compounds of the general formula (IX)

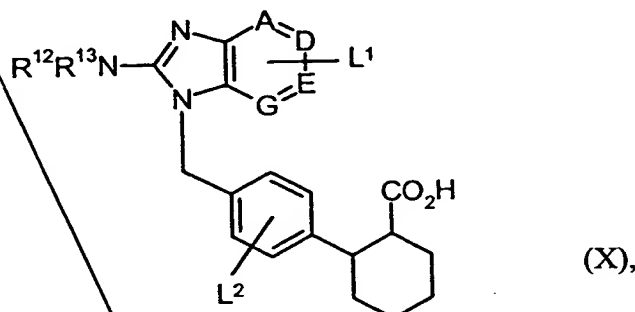


in which

A, D, E, G, L¹, L², R¹², R¹³ and T are each as defined above,

contd.
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which are, in the subsequent steps, converted as described under [A] by hydrolysis into the corresponding carboxylic acids of the general formula (X)



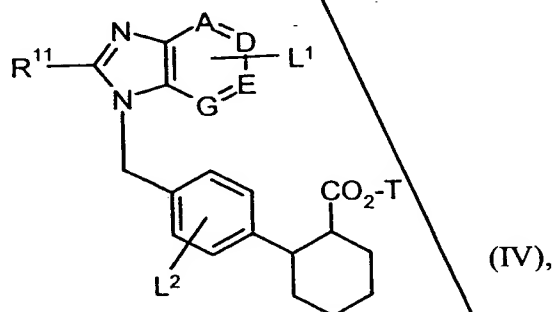
in which

A, D, E, G, L¹, L², R¹² and R¹³ are each as defined above

and these compounds are finally reacted with the compounds of the general formula (VI) according to known methods for preparing amides from carboxylic acids and amines and converted into the compounds of the general formula (I)

where the compounds of the general formula (I) obtained according to process variant [A] or [B] can, if appropriate, subsequently be converted into the corresponding salts by reaction with, for example, an acid.

9. Compounds of the general formula (IV)



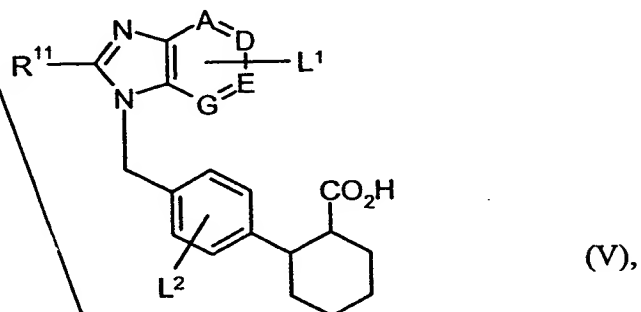
in which

A, D, E, G, L¹, L², R¹¹ and T are each as defined above

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a2

and their enantiomers and diastereomers and their respective salts.

10. Compounds of the general formula (V)

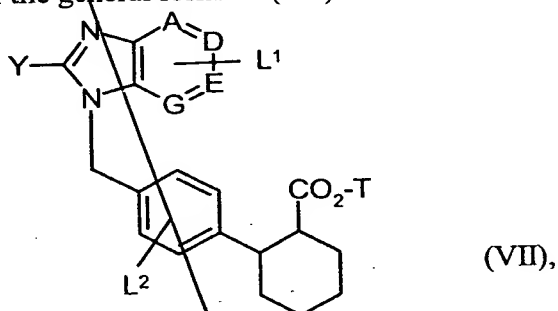


in which

A, D, E, G, L¹, L² and R¹¹ are each as defined above,

and their enantiomers and diastereomers and their respective salts.

11. Compounds of the general formula (VII)



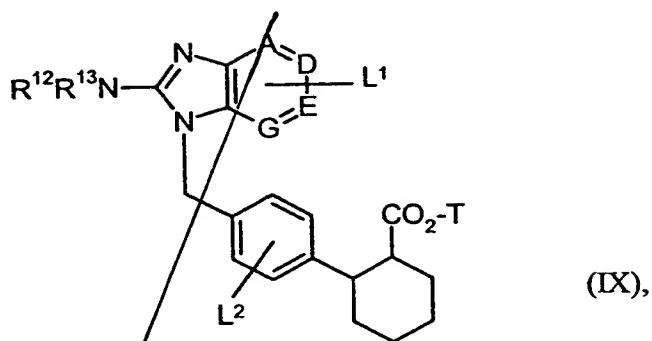
15 in which

A, D, E, G, L¹, L², Y and T are each as defined above,

and their enantiomers and diastereomers and their respective salts.

12. Compounds of the general formula (IX)
- 20

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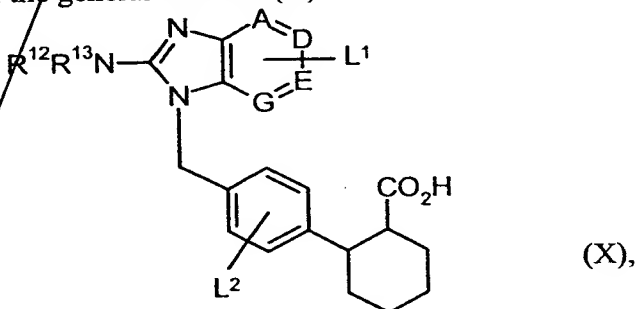


in which

A, D, E, G, L¹, L², R¹², R¹³ and T are each as defined above,

and their enantiomers and diastereomers and their respective salts.

13. Compounds of the general formula (X)

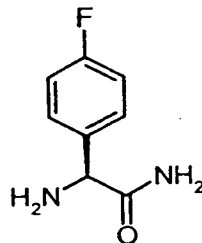


in which

A, D, E, G, L¹, L², R¹¹ and R¹² are each as defined above.

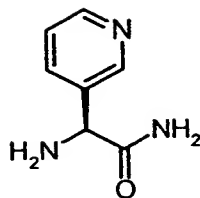
and their enantiomers and diastereomers and their respective salts.

14. (S)-(4-Fluorophenyl)glycinamide



and its salts.

15. (S)-(3-Pyridyl)glycinamide



and its salts.

5

16. Medicaments, comprising a compound of the general formula (I) according to any of Claims 1 to 7 in ~~admixture with at least one pharmaceutically acceptable, essentially non-toxic carrier or excipient.~~

10

17. Compounds according to any of Claims 1 to 7 for use as medicament in the treatment of humans and animals.

18. Compounds according to any of Claims 1 to 7 for the prophylaxis and/or treatment of disorders in humans and animals.

15

19. Use of compounds according to ^aany of Claims 1 to 7 for preparing medicaments for the prophylaxis and/or treatment of disorders in humans and animals.

20

20. Use of compounds according to any of Claims 1 to 7 for preparing medicaments for the treatment and/or prophylaxis of ischaemic disorders of the cardiovascular system.

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a³

Add
a⁴